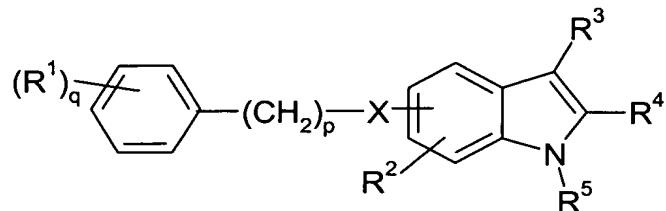


**Claims**

1. A method for treating angiogenesis or any disease associated with angiogenesis, comprising administering a compound of Formula (I),



Formula (I)

wherein

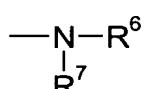
R<sup>1</sup> is independently selected from halo, hydroxy, amino, alkanoylamino,

—OPO<sub>3</sub>H<sub>2</sub>, or C<sub>1-4</sub>alkoxy, wherein the amino group is optionally substituted with an amino acid residue and the hydroxy group is optionally esterified;

X is selected from —O—, —S—, —SO—, or —SO<sub>2</sub>—;

R<sup>2</sup> is selected from hydrogen, C<sub>1-4</sub>alkyl, or C<sub>1-4</sub>alkoxy;

R<sup>3</sup> and R<sup>4</sup> are independently selected from hydrogen, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkanoyl, C<sub>1-4</sub>alkoxycarbonyl, C<sub>1-4</sub>alkoxycarbonylC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxycarbonylamino, amino, aminoC<sub>1-4</sub>alkyl, carbamoyl, carbamoylC<sub>1-4</sub>alkyl, cyano, cyanoC<sub>1-4</sub>alkyl, hydroxy, hydroxyC<sub>1-4</sub>alkyl, or a group of Formula (II)

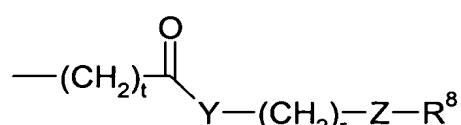


Formula (II)

wherein

R<sup>6</sup> is hydrogen or C<sub>1-4</sub>alkyl;

R<sup>5</sup> and R<sup>7</sup> are independently selected from hydrogen, C<sub>1-4</sub>alkyl, or a group of Formula (III)



Formula (III)

wherein

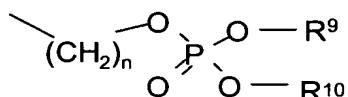
Y is selected from —NH—, —O—, or a bond;

Z is selected from —NH—, —O—, —C(O)—, or a bond;

r is an integer from 0 to 4;

t is an integer from 0 to 1;

R<sup>8</sup> is hydrogen, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxy, aryl, 5- or 6- membered heterocyclyl, 5- or 6-membered heteroaryl, wherein aryl, heteroaryl or heterocyclyl are optionally substituted with C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxy, or a group of Formula (IV)



Formula (IV)

wherein

n is an integer from 1 to 6, and;

R<sup>9</sup> and R<sup>10</sup> are independently selected from hydrogen, C<sub>1-4</sub>alkyl, or aryl;

p is an integer from 0 to 1; and

q is an integer from 0 to 3;

with the proviso that

- (i) when R<sup>3</sup> is cyano, then R<sup>4</sup> cannot be a group of Formula (II), and
- (ii) when q is 0, R<sup>3</sup> is cyano, and X is —S—, then R<sup>4</sup> is other than amino; or a salt, prodrug, or solvate thereof.

2. A method of claim 1, wherein R<sup>1</sup> is hydroxy, amino, —OPO<sub>3</sub>H<sub>2</sub>, or C<sub>1-4</sub>alkoxy, wherein the amino group is optionally substituted with an amino acid residue and the hydroxy group is optionally esterified.
3. A method of claim 1, wherein X is —O— or —S—.
4. A method of claim 1, wherein R<sup>3</sup> is cyano.
5. A method of claim 1, wherein
  - R<sup>1</sup> is selected from hydroxy, amino, —OPO<sub>3</sub>H<sub>2</sub>, or C<sub>1-4</sub>alkoxy, wherein the amino group is optionally substituted with an amino acid residue;
  - R<sup>2</sup> is hydrogen;
  - X is selected from —O—, —S—, —SO—, or —SO<sub>2</sub>—;

p is 0 or 1;

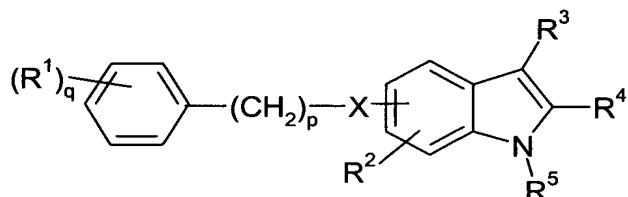
q is an integer from 1 to 3;

R<sup>3</sup> is selected from hydrogen, cyano, carbamoyl, carbamoylC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkanoy, or C<sub>1-4</sub>alkoxycarbonyl;

R<sup>4</sup> is selected from hydrogen, cyano, or carbamoyl; and

R<sup>5</sup> is hydrogen or C<sub>1-4</sub>alkyl.

6. A method for treating angiogenesis or any disease associated with angiogenesis, comprising administering a compound of Formula (V),



Formula (V)

wherein

q is from 1 to 3; and

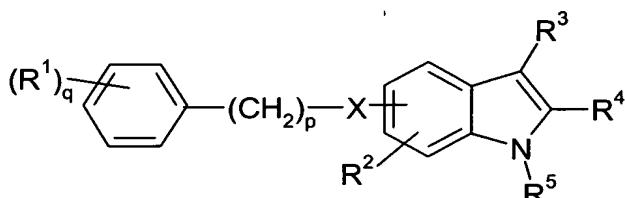
R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, X and p are as defined in claim 1,

with the proviso that:

- (i) when R<sup>3</sup> is cyano, then R<sup>4</sup> cannot be a group of Formula (II); and
- (ii) when (R¹)<sub>q</sub> is 4-methoxy, 4-amino, or 3,4,5-trimethoxy, p is 0 or 1, R<sup>2</sup> is hydrogen or 5-methoxy, R<sup>3</sup> is hydrogen, cyanomethyl, or 2-aminoethyl, and R<sup>4</sup> is hydrogen or ethoxycarbonyl, then R<sup>5</sup> cannot be hydrogen or methyl;

or a salt, prodrug or solvate thereof.

7. A compound of Formula (VIIId),



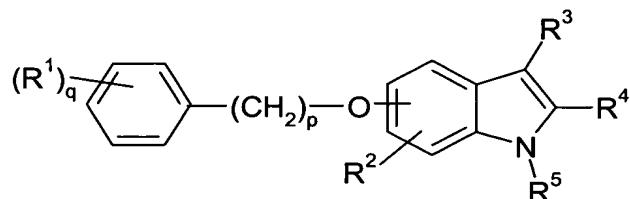
Formula (VIIId)

wherein

$R^1$  is independently selected from hydroxy, amino, alkanoylamino, —OPO<sub>3</sub>H<sub>2</sub>, or C<sub>1-4</sub>alkoxy, wherein the amino group is optionally substituted with an amino acid residue and the hydroxy group is optionally esterified; X, p, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, and R<sup>5</sup> are as defined in claim 1; q is an integer from 1 to 3; with the proviso that

- (i) when R<sup>3</sup> is cyano, then R<sup>4</sup> cannot be a group of Formula (II); and
- (ii) when (R<sup>1</sup>)<sub>q</sub> is 4-methoxy, 4-amino or 3,4,5-trimethoxy, p is 0 or 1, R<sup>2</sup> is hydrogen or 5-methoxy, R<sup>3</sup> is hydrogen, cyanomethyl, or 2-aminoethyl, and R<sup>4</sup> is hydrogen or ethoxycarbonyl, then R<sup>5</sup> cannot be hydrogen or methyl; or a salt, prodrug or solvate thereof.

8. A compound of Formula (VI),



Formula (VI)

wherein

q is from 1 to 3;

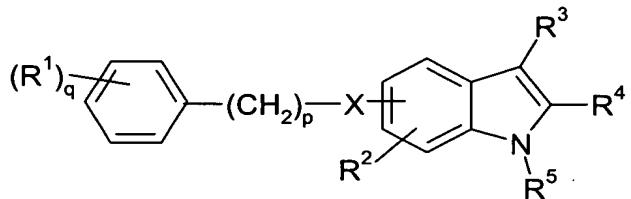
p, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, and R<sup>5</sup> are as defined in claim 7;

with the proviso that

- (i) when R<sup>3</sup> is cyano, then R<sup>4</sup> cannot be a group of Formula (II);
- (ii) when (R<sup>1</sup>)<sub>q</sub> is 4-methoxy, 4-amino, or 3,4,5-trimethoxy, p is 0 or 1, R<sup>2</sup> is hydrogen or 5-methoxy, R<sup>3</sup> is hydrogen, cyanomethyl or 2-aminoethyl, and R<sup>4</sup> is hydrogen or ethoxycarbonyl, then R<sup>5</sup> cannot be hydrogen or methyl;

or a salt, prodrug or solvate thereof.

## 9. A compound of Formula (VIIc)



Formula (VIIc)

wherein

X is selected from: —S—, —SO—, or —SO<sub>2</sub>—; and

p, q, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, and R<sup>5</sup> are as defined in claim 7;

with the proviso that

- (i) when R<sup>3</sup> is cyano, then R<sup>4</sup> cannot be a group of Formula (II);
- (ii) when (R<sup>1</sup>)<sub>q</sub> is 4-amino, p is 0 or 1, R<sup>2</sup> is hydrogen, R<sup>3</sup> is hydrogen, and R<sup>4</sup> is hydrogen or ethoxycarbonyl, then R<sup>5</sup> cannot be hydrogen; or a salt, prodrug or solvate thereof.

## 10. A compound, of claim 7, selected from:

3-cyano-5-phenylsulphonyl-1*H*-indole;

3-cyano-5-phenoxy-1*H*-indole;

3-cyano-5-(4-hydroxyphenoxy)-1*H*-indole; and

2-cyano-5-benzyloxy-1*H*-indole;

1-methyl-3-cyano-5-(4-hydroxy-3,5-dimethoxyphenoxy)-1*H*-indole;

1-methyl-3-cyano-5-(4-phosphonoxy-3,5-dimethoxyphenoxy)-1*H*-indole;

3-cyano-5-(3,4-dimethoxyphenylsulphonyl)-1*H*-indole;

1-methyl-3-cyano-5-(3,4-dimethoxyphenylsulphonyl)-1*H*-indole;

3-cyano-5-(3,4-dimethoxyphenylsulphonyl)-1*H*-indole; and

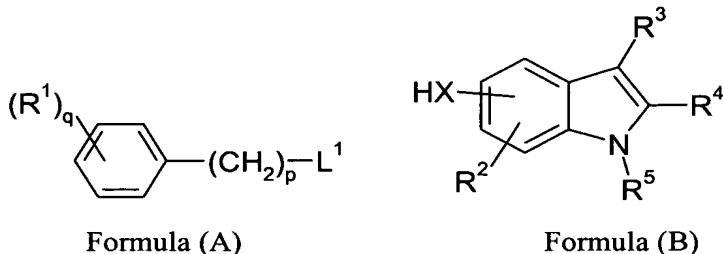
1-methyl-3-cyano-5-(3,4-dimethoxyphenylsulphonyl)-1*H*-indole;

or salt, prodrug or solvate thereof.

## 11. A pharmaceutical composition comprising a compound according to any one of Claims 7 to 10 or a pharmaceutically acceptable salt, solvate or prodrug thereof.

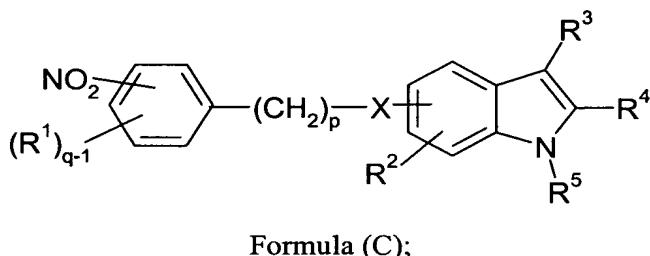
12. A process for preparing a compound of claim 1, or salt, solvate or prodrug thereof, comprising

a) for compounds of Formula (I) wherein X is —O— or —S—, reacting a compound of Formula (A) with a compound of Formula (B),



wherein  $L^1$  is a leaving group;

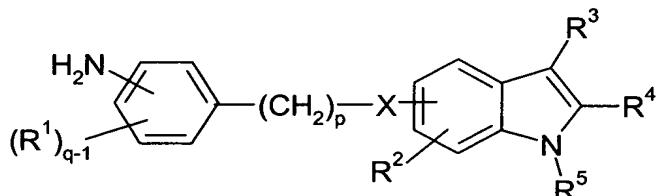
b) for compounds of Formula (I) in which  $R^1$  is amino, reduction of a compound of Formula (C):



Formula (C);

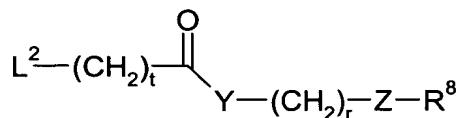
c) for compounds of Formula (I) wherein  $R^5$  is  $C_{1-4}$ alkyl, reacting a compound of Formula (I) wherein  $R^5$  is hydrogen with a suitable alkylhalide;

d) for compounds of Formula (I) wherein  $R^1$  comprises an amino group substituted with an amino acid residue, reacting a compound of Formula (D) with an amino acid,



Formula (D);

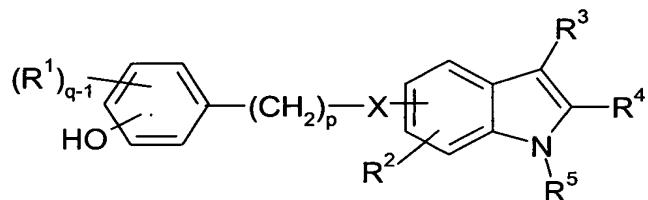
e) for compounds of Formula (I) in which  $R^3$  is a group of Formula (II) and  $R^7$  is a group of Formula (III), reacting a compounds of Formula (I) in which  $R^3$  is a group of Formula (II) and  $R^7$  is hydrogen with compounds of Formula (E) below, in which  $L^2$  is a leaving group:



Formula (E);

f) for compounds of Formula (I) in which R<sup>4</sup> is hydrogen, reacting compounds of Formula (I) in which R<sup>3</sup> is hydrogen and R<sup>4</sup> is hydrogen with compounds of L<sup>3</sup>R<sup>3</sup> in which L<sup>3</sup> is a leaving group; and

g) for compounds of Formula (I) in which R<sup>1</sup> is an esterified hydroxyl group, reacting a compound of Formula (F) with an appropriate carboxylic acid or carboxylic acid derivative;



Formula (F)

and thereafter optionally

- i) converting a compound of Formula (I) into another compound of Formula (I);
- ii) removing any protecting groups;
- iii) forming a salt, prodrug or solvate.